CLAIMS

1. A composition for providing an in-situ forming controlled release microcarrier delivery system, said composition being a gelled, syringeable droplet-in-oil dispersion comprising a biocompatible, biodegradable or non-biodegradable polymer in a water-soluble organic solvent and a pharmaceutically acceptable biocompatible emulsifier in solution in a biocompatible oil, wherein the biocompatible emulsifier comprises sorbitan monostearate, sorbitan monopalmitate or a mixture thereof, wherein the concentration of said polymer in solution in said solvent, and of said emulsifier in solution in said oil are effective to form an in-situ controlled release microcarrier delivery system when the dispersion comes into contact with an aqueous fluid.

2. The composition of claim 1, wherein said polymer is a biodegradable polymer selected from the group consisting essentially of polylactides, polyglycolides, polylactics, polylactic acid-co-glycolic acid, polylactide-co-glycolides, polyesteramides, star-branched polymers, polyphosphoesters, albumin, fibrin, fibrinogen combinations, polycaprolactones, polydioxanones, polycarbonates, polyhydroxybutyrates, polyalkylene oxalates, polyanhydrides, polyamides, polyurethanes, polyacetals, polyketals, polyorthocarbonates, polyphosphazenes, polyhydroxyvalerates, polyalkylene succinates, poly(malic acid), poly(amino acids), chitin, chitosan, polyorthoesters, gelatin, collagen, polyethylene glycols, polyethylene oxides, polypropylene oxides, polyethers, betacyclodextrin, polysaccharides, polyvinyl alcohol, polyvinyl pyrrolidone, polyvinyl-alcohol, polyoxyethylene-polypropylene block copolymers, and their copolymers, terpolymers and combinations and mixtures thereof.

3. The composition of claim 1, wherein said polymer is a non-biodegradable polymer selected from the group consisting essentially of ethyl celluloses, acrylates, methacrylates, pyrrolidones, polyoxyethylenes,

polyoxyethylene-polypropylene copolymers, hydroxypropylmethyl celluloses, hydroxypropyl celluloses, methyl celluloses, polymethylmethacrylates, cellulose acetates and their derivatives, shellac, methacrylic acid based polymers, their copolymers, combinations and mixtures thereof.

4. The composition of claim 1, wherein said solvent is selected from the group consisting essentially of N-methyl-2-pyrrolidone, NN'-dimethylacetamide, water, 2-pyrrolidone, sorbitol, dimethylsulfoxide, dimethylformamide, glycofural, glycerolformal, propylene glycol, polyethylene glycol, glycerol, caprolactam, decylmethyl sulfoxide, ethanol, dialkylamides, combinations and mixtures thereof.

5. The composition of claim 1, wherein said oil is selected from animal oils, isopropyl myristate, vegetable oils or their fractionated counterparts or their salts with other acids.

6. The composition of claim 1, wherein the sorbitan monostearate, sorbitan monopalmitate or a mixture thereof is capable of gelling the solvent and the oil.

7. The composition of claim 1, further comprising an amount of a biologically active agent selected from peptide drugs, protein drugs, desensitizing agents, antigens, vaccines, anti-infectives, antibiotics, antimicrobials, antineoplastics, antitumor, antiallergenics, steroidal anti-inflammatory agents, analgesics, decongestants, miotics, anticholinergics, sympathomimetics, sedatives, hypnotics, antipsychotics, psychic energizers, tranquilizers, androgenic steroids, estrogens, progestational agents, humoral agents, prostaglandins, analgesics, antispasmodics, antimalarials, antihistamines, cardioactive agents, non-steroidal anti-inflammatory agents, antiparkinsonian agents, antihypertensive agents, beta-adrenergic blocking agents, nutritional agents, antivirals, DNA fragments, nucleic acids, genetic material, oligonucleotides, radioisotopes, or combinations of these classes of

compounds or other forms such as uncharged molecules, molecular complexes, salts, ethers, esters, amides, and other chemically modified forms of the biologically active agent which are biologically activated when injected into a body.

8. The composition of claim 1, further comprising a biologically active agent selected from leuprolide acetate, goserelin acetate, octreotide acetate, paclitaxel, chlorpheniramine maleate, trimethoprim, sulfamethoxazole, lactic acid, pseudoephedrine hydrochloride, olanzapine, captopril, lidocaine hydrochloride, felodipine, indomethacin, povidone iodine, or terbutaline sulfate.

9. The composition of claim 1, further comprising leuprolide acetate.

10. The composition of claim 1, further comprising paclitaxel.

11. The composition according to any one of claims 1-10, wherein the aqueous fluid is in a site within or on a body.

12. The composition according to claim 1, wherein the concentration of said polymer in said organic solvent in the polymer phase is between 1 and 90% w/w.

13. The composition according to claim 1, wherein the concentration of said emulsifier in respect to the polymer and organic solvent is between 5 and 50 %w/w.

14. An in-situ formed controlled release microcarrier delivery system formed from the composition of claim 1, which system comprises microcarriers which are spherical, oblong, elliptical, or irregular in shape.

15. The system of claim 14, wherein the size of the microcarriers is between 1 to 400 μm.

16. The system of claim 14, wherein the size of the microcarriers is between 5 and 150 μm.

17. The system of claim 14, wherein greater than 40 - 60 % of the microcarriers have a size of less than 100 μm.

18. A process for preparation of the composition of claim 1 which comprises the steps of:

(a) dissolving a biocompatible polymer or a mixture of polymers in a water-soluble organic solvent or a mixture of solvents at an elevated temperature to form a polymer solution,

(b) separately dissolving a biocompatible emulsifier in a biocompatible oil at an elevated temperature to form a continuous oil phase,

(c) emulsifying the polymer solution as described in (a) above into the continuous oil phase as described in (b) above to form a polymer droplet-in-oil dispersion, and

(d) mixing the polymer droplet-in-oil dispersion and subsequently cooling it to obtain a gelled dispersion.

19. The process of claim 18, wherein said polymer is a biodegradable polymer selected from the group consisting essentially of polylactides, polyglycolides, polylactics, polylactic acid-co-glycolic acid, polylactide-co-glycolides, polyesteramides, star-branched polymers, polyphosphoesters, albumin, fibrin, fibrinogen combinations, polycaprolactones, polydioxanones, polycarbonates, polyhydroxybutyrates, polyalkylene oxalates, polyanhydrides, polyamides, polyurethanes, polyacetals, polyketals, polyorthocarbonates, polyphosphazenes, polyhydroxyvalerates, polyalkylene succinates, poly(malic acid), poly(amino acids), chitin, chitosan, polyorthoesters, gelatin, collagen, polyethylene glycols, polyethylene oxides, polypropylene oxides, polyethers, betacyclodextrin, polysaccharides, polyvinyl alcohol, polyvinyl pyrrolidone, polyvinyl-alcohol, polyoxyethylene-polypropylene block copolymers, and their copolymers, terpolymers and combinations and mixtures thereof.

20. The process of claim 18, wherein said polymer is a non-biodegradable polymer selected from the group consisting essentially of ethyl celluloses, acrylates, methacrylates, pyrrolidones, polyoxyethylenes, polyoxyethylene-polypropylene copolymers, hydroxypropylmethyl celluloses, hydroxypropyl celluloses, methyl celluloses, polymethylmethacrylates, cellulose acetates and their derivatives, shellac, methacrylic acid based polymers, their copolymers, combinations and mixtures thereof.

21. The process of claim 18, wherein said solvent is selected from the group consisting essentially of N-methyl-2-pyrrolidone, N,N'-dimethylacetamide, water, 2-pyrrolidone, sorbitol, dimethylsulfoxide, dimethylformamide, glycofural, glycerolformal, propylene glycol, polyethylene glycol, glycerol, caprolactam, decylmethyl sulfoxide, ethanol, dialkylamides, combinations and mixtures thereof.

22. The process of claim 18, wherein said oil is selected from animal oils, isopropyl myristate or vegetable oils or their fractionated counterparts or their salts with other acids.

23. The process of claim 18, wherein the sorbitan monostearate, sorbitan monopalmitate or a mixture thereof is capable of gelling the solvent and the oil phase.

24. The process of claim 18, further comprising a biologically active agent, a biologically inactive agent or both.

25. The process of claim 24, wherein the biologically active agent is selected from peptide drugs, protein drugs, desensitizing agents, antigens, vaccines, anti-infectives, antibiotics, antimicrobials, antineoplastics, antitumor, antiallergenics, steroidal anti-inflammatory agents, analgesics, decongestants, miotics, anticholinergics, sympathomimetics, sedatives, hypnotics, antipsychotics, psychic energizers, tranquilizers, androgenic steroids, estrogens, progestational agents, humoral agents, prostaglandins,

analgesics, antispasmodics, antimalarials, antihistamines, cardioactive agents, non-steroidal anti-inflammatory agents, antiparkinsonian agents, antihypertensive agents, beta-adrenergic blocking agents, nutritional agents, antivirals, DNA fragments, nucleic acids, genetic material, oligonucleotides, radioisotopes, or combinations of these classes of compounds or other forms such as uncharged molecules, molecular complexes, salts, ethers, esters, amides, and other chemically modified forms of the biologically active agent which are biologically activated when injected into the body.

26. The process of claim 18, further comprising a biologically active agent which is selected from leuprolide acetate, goserelin acetate, octreotide acetate, paclitaxel, chlorpheniramine maleate, trimethoprim, sulfamethoxazole, lactic acid, pseudoephedrine hydrochloride, olanzapine, captopril, lidocaine hydrochloride, felodipine, indomethacin, povidone iodine, or terbutaline sulfate.

27. The process of claim 18, further comprising leuprolide acetate.

28. The process of claim 18, further comprising paclitaxel.

29. A kit for the in-situ formation of microcarriers which comprises:

a) a pharmaceutical composition for providing an *in-situ* forming controlled release microcarrier delivery system, said composition being a gelled, syringeable droplet-in-oil dispersion comprising a biocompatible, biodegradable or non-biodegradable polymer in a water-soluble organic solvent and a pharmaceutically acceptable biocompatible emulsifier in solution in a biocompatible oil, wherein the biocompatible emulsifier comprises sorbitan monostearate, sorbitan monopalmitate or mixture thereof wherein the concentration of said polymer in solution in said solvent, and of the emulsifier in solution in said oil are effective to form an *in-situ* controlled release microcarrier delivery system when said dispersion comes into contact with an aqueous fluid; and,

b) a device containing said pharmaceutical composition, said device having an inlet for the gelled dispersion, an ejector for expelling the gelled dispersion through an outlet into a site of a body..

30. The kit of claim 29, wherein said polymer is a biodegradable polymer selected from the group consisting essentially of polylactides, polyglycolides, polylactics, polylactic acid-co-glycolic acid, polylactide-co-glycolides, polyesteramides, star-branched polymers, polyphosphoesters, albumin, fibrin, fibrinogen combinations, polycaprolactones, polydioxanones, polycarbonates, polyhydroxybutyrates, polyalkylene oxalates, polyanhydrides, polyamides, polyurethanes, polyacetals, polyketals, polyorthocarbonates, polyphosphazenes, polyhydroxyvalerates, polyalkylene succinates, poly(malic acid), poly(amino acids), chitin, chitosan, polyorthoesters, gelatin, collagen, polyethylene glycols, polyethylene oxides, polypropylene oxides, polyethers, betacyclodextrin, polysaccharides, polyvinyl alcohol, polyvinyl pyrrolidone, polyvinyl-alcohol, polyoxyethylene-polypropylene block copolymers, and their copolymers, terpolymers and combinations and mixtures thereof.

31. The kit of claim 29, wherein said polymer is a non-biodegradable polymer selected from the group consisting essentially of ethyl celluloses, acrylates, methacrylates, pyrrolidones, polyoxyethylenes, polyoxyethylene-polypropylene copolymers, hydroxypropylmethyl celluloses, hydroxypropyl celluloses, methyl celluloses, polymethylmethacrylates, cellulose acetates and their derivatives, shellac, methacrylic acid based polymers, their copolymers, combinations and mixtures thereof.

32. The kit of claim 29, wherein said solvent is selected from the group consisting essentially of N-methyl-2-pyrrolidone, N,N'-dimethylacetamide, water, 2-pyrrolidone, sorbitol, dimethylsulfoxide, dimethylformamide, glycofural, glycerolformal, propylene glycol,

polyethylene glycol, glycerol, caprolactam, decylmethyl sulfoxide, ethanol, dialkylamides, combinations and mixtures thereof.

33. The kit of claim 29, wherein said oil is selected from animal oils, isopropyl myristate, vegetable oils or their fractionated counterparts or their salts with other acids.

34. The kit of claim 29, wherein the sorbitan monostearate, sorbitan monopalmitate or a mixture thereof is capable of gelling the solvent and the oil.

35. The kit of claim 29, further comprising a biologically active agent dissolved or dispersed within said gelled dispersion.

36. The kit of claim 29 further comprising a biologically active agent selected from peptide drugs, protein drugs, desensitizing agents, antigens, vaccines, anti-infectives, antibiotics, antimicrobials, antineoplastics, antitumor, antiallergenics, steroidal anti-inflammatory agents, analgesics, decongestants, miotics, anticholinergics, sympathomimetics, sedatives, hypnotics, antipsychotics, psychic energizers, tranquilizers, androgenic steroids, estrogens, progestational agents, humoral agents, prostaglandins, analgesics, antispasmodics, antimalarials, antihistamines, cardioactive agents, non-steroidal anti-inflammatory agents, antiparkinsonian agents, antihypertensive agents, beta-adrenergic blocking agents, nutritional agents, antivirals, DNA fragments, nucleic acids, genetic material, oligonucleotides, radioisotopes, or combinations of these classes of compounds or other forms such as uncharged molecules, molecular complexes, salts, ethers, esters, amides, and other chemically modified forms of the biologically active agent which are biologically activated when injected into the body.

37. The kit of claim 29, further comprising a biologically active agent selected from leuprolide acetate, goserelin acetate, octreotide acetate, paclitaxel, chlorpheniramine maleate, trimethoprim, sulfamethoxazole, lactic

acid, pseudoephedrine hydrochloride, olanzapine, captopril, lidocaine hydrochloride, felodipine, indomethacin, povidone iodine, or terbutaline sulfate.

38. The kit of claim 29, further comprising leuprolide acetate.

39. The kit of claim 29, further comprising paclitaxel.

40. The kit according to claim 29, wherein the aqueous fluid is an aqueous body fluid.

41. A method of forming in-situ a controlled release microcarrier delivery system comprising:

(a) administering a pharmaceutical composition according to claim 1 to a site of a body and

(b) allowing the composition to come in contact with an aqueous fluid at the site of administration wherein an *in-situ* controlled release microcarrier delivery system is formed.

42. The method of claim 41, wherein said composition comprises a polymer which is a biodegradable polymer selected from the group consisting essentially of polylactides, polyglycolides, polylactics, polylactic acid-co-glycolic acid, polylactide-co-glycolides, polyesteramides, star-branched polymers, polyphosphoesters, albumin, fibrin, fibrinogen combinations, polycaprolactones, polydioxanones, polycarbonates, polyhydroxybutyrates, polyalkylene oxalates, polyanhydrides, polyamides, polyurethanes, polyacetals, polyketals, polyorthocarbonates, polyphosphazenes, polyhydroxyvalerates, polyalkylene succinates, poly(malic acid), poly(amino acids), chitin, chitosan, polyorthoesters, gelatin, collagen, polyethylene glycols, polyethylene oxides, polypropylene oxides, polyethers, betacyclodextrin, polysaccharides, polyvinyl alcohol, polyvinyl pyrrolidone, polyvinyl-alcohol, polyoxyethylene-polypropylene block copolymers, and their copolymers, terpolymers and combinations and mixtures thereof.

43. The method of claim 41, wherein said composition comprises a polymer which is is a non-biodegradable polymer selected from the group consisting essentially of ethyl celluloses, acrylates, methacrylates, pyrrolidones, polyoxyethylenes, polyoxyethylene-polypropylene copolymers, hydroxypropylmethyl celluloses, hydroxypropyl celluloses, methyl celluloses, polymethylmethacrylates, cellulose acetates and their derivatives, shellac, methacrylic acid based polymers, their copolymers, combinations and mixtures thereof.

44. The method of claim 41, wherein said composition comprises a solvent which is selected from the group consisting essentially of N-methyl-2-pyrrolidone, N,N'-dimethylacetamide, water, 2-pyrrolidone, sorbitol, dimethylsulfoxide, dimethylformamide, glycofural, glycerolformal, propylene glycol, polyethylene glycol, glycerol, caprolactam, decylmethyl sulfoxide, ethanol, dialkylamides, combinations and mixtures thereof.

45. The method of claim 41, wherein said wherein said oil is selected from animal oils, isopropyl myristate, vegetable oils or their fractionated counterparts or their salts with other acids.

46. The method of claim 41, wherein said composition further comprises a biologically active agent is selected from peptide drugs, protein drugs, desensitizing agents, antigens, vaccines, anti-infectives, antibiotics, antimicrobials, antineoplastics, antitumor, antiallergenics, steroidal anti-inflammatory agents, analgesics, decongestants, miotics, anticholinergics, sympathomimetics, sedatives, hypnotics, antipsychotics, psychic energizers, tranquilizers, androgenic steroids, estrogens, progestational agents, humoral agents, prostaglandins, analgesics, antispasmodics, antimalarials, antihistamines, cardioactive agents, non-steroidal anti-inflammatory agents, antiparkinsonian agents, antihypertensive agents, beta-adrenergic blocking agents, nutritional agents, antivirals, DNA fragments, nucleic acids, genetic material, oligonucleotides, radioisotopes, or combinations of these classes of

compounds or other forms such as uncharged molecules, molecular complexes, salts, ethers, esters, amides, and other chemically modified forms of the biologically active agent which are biologically activated when injected into the body.

47. The method of claim 41, wherein the composition further comprises a biologically active agent which is selected from leuprolide acetate, goserelin acetate, octreotide acetate, paclitaxel, chlorpheniramine maleate, trimethoprim, sulfamethoxazole, lactic acid, pseudoephedrine hydrochloride, olanzapine, captopril, lidocaine hydrochloride, felodipine, indomethacin, povidone iodine, or terbutaline sulfate.

48. The method of claim 41, wherein composition further comprises leuprolide acetate.

49. The method of claim 47, wherein the composition further comprises paclitaxel.

50. The method of claim 41, wherein the body is an animal or human.

51. The method of claim 41, wherein the route of administration is selected from oral, buccal, ocular, nasal, rectal, vaginal, intravenous, intramuscular, subcutaneous, intraperitoneal, intradermal, intratumoral, intralesional , intravascular, topical, transdermal, local, regional, or loco-regional.

52. A method of preventing or treating a health disorder, disease or medical condition comprising administering a composition according to claim 1 to a patient in need thereof.

53. A method of preventing or treating a health disorder, disease or medical condition comprising using a kit according to claim 29 to form an in-situ controlled release microcarrier delivery system in a patient in need thereof.

54. The composition according to claim 5, wherein said animal oil is selected from whale oil or shark liver oil.

55. The composition according to claim 5, wherein the vegetable oil is selected from sesame seed oil, cottonseed oil, poppy seed oil, castor oil, coconut oil, canola oil, sunflower seed oil, peanut oil, corn oil, soyabean oil, or capric-caprylic triglycerides.

56. The composition according to claim 22, wherein said animal oil is selected from whale oil or shark liver oil.

57. The composition according to claim 22, wherein the vegetable oil is selected from sesame seed oil, cottonseed oil, poppy seed oil, castor oil, coconut oil, canola oil, sunflower seed oil, peanut oil, corn oil, soyabean oil, or capric-caprylic triglycerides.

58. The kit according to claim 33, wherein said animal oil is selected from whale oil or shark liver oil.

59. The kit according to claim 33, wherein the vegetable oil is selected from sesame seed oil, cottonseed oil, poppy seed oil, castor oil, coconut oil, canola oil, sunflower seed oil, peanut oil, corn oil, soyabean oil, or capric-caprylic triglycerides.

60. The method according to claim 45, wherein said animal oil is selected from whale oil or shark liver oil.

61. The method according to claim 45, wherein the vegetable oil is selected from sesame seed oil, cottonseed oil, poppy seed oil, castor oil, coconut oil, canola oil, sunflower seed oil, peanut oil, corn oil, soyabean oil, or capric-caprylic triglycerides.

62. The method according to claim 41 wherein the body is an aqueous medium.

63. The composition of claim 1 further comprising a biologically active agent, a biologically inactive agent or both.

64. The kit of claim 29 further comprising a biologically active agent, a biologically inactive agent or both.

65. The method of claim 41 further comprising a biologically active agent, a biologically inactive agent or both.

66. The process according to claim 18 further comprising adding a biologically active agent, bioinactive agent or both to the polymer solution formed in step (a).

67. The process according to claim 66 further comprising adding a biologically active agent, bioinactive agent or both to the continuous oil phase formed in step (b).

68. The process according to claim 18 further comprising adding a biologically active agent, bioinactive agent or both to the continuous oil phase formed in step (b).